

| L Number | Hits | Search Text  | DB    | Time stamp       |
|----------|------|--|-------|------------------|
| 1        | 3468 | ("514/183,229.2,299,418,430").CCLS   | USPAT | 2004/02/27 11:31 |
| 2        | 0    | ("546/112,113").CCLS   | USPAT | 2004/02/27 11:32 |
| 3        | 1181 | ("546/112,113").CCLS   | USPAT | 2004/02/27 11:32 |
| 4        | 1375 | ("548/452,453,465").CCLS   | USPAT | 2004/02/27 11:32 |
| 5        | 1309 | ("544/98,111,359,368").CCLS  | USPAT | 2004/02/27 11:32 |
| 6        | 2    | ((("514/183,229.2,299,418,430").CCLS) and ("546/112,113").CCLS) and<br>(("548/452,453,465").CCLS) and ("544/98,111,359,368").CCLS) | USPAT | 2004/02/27 11:33 |

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NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields  
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NEWS 22 FEB 05 German (DE) application and patent publication number format  
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0.42

0.42

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STRUCTURE FILE UPDATES: 25 FEB 2004 HIGHEST RN 654632-96-9

DICTIONARY FILE UPDATES: 25 FEB 2004 HIGHEST RN 654632-96-9

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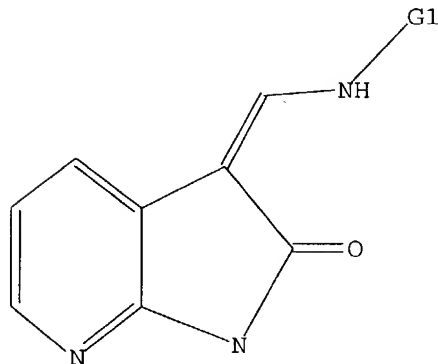
Uploading c:\program files\stnexp\queries\10669400.1

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 H, Cb, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 10:59:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 122 TO ITERATE

100.0% PROCESSED 122 ITERATIONS

113 ANSWERS

SEARCH TIME: 00.00.01

L2 113 SEA SSS FUL L1

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155.42

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FILE COVERS 1907 - 27 Feb 2004 VOL 140 ISS 10

FILE LAST UPDATED: 26 Feb 2004 (20040226/ED)

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=> s l2

L3 2 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:688216 CAPLUS

DN 133:266726

TI Preparation of 3-(anilinomethylene)oxindoles and analogs as protein tyrosine kinase and protein serine/threonine kinase inhibitors

IN Glennon, Kimberley Caroline; Kuyper, Lee Frederick; Lackey, Karen Elizabeth; McNutt, Robert Walton, Jr.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 189 pp.

CODEN: PIXXD2

DT Patent

LA English

## FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 2000056710   | A1   | 20000928 | WO 2000-US5057  | 20000228 |
|    | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG<br>GB 1999-4933 A 19990304<br>EP 1165514 A1 20020102 EP 2000-913643 20000228<br>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO<br>GB 1999-4933 A 19990304<br>WO 2000-US5057 W 20000228<br>US 6350747 B1 20020226 US 2000-514528 20000228<br>GB 1999-4933 A 19990304<br>JP 2002540097 T2 20021126 JP 2000-606572 20000228<br>GB 1999-4933 A 19990304<br>WO 2000-US5057 W 20000228<br>US 6498176 B1 20021224 US 2001-914063 20010822<br>GB 1999-4933 A 19990304<br>WO 2000-US5057 W 20000228<br>US 2002099071 A1 20020725 US 2001-966318 20010927<br>GB 1999-4933 A 19990304<br>US 2000-514528 A320000228  |      |          |                 |          |
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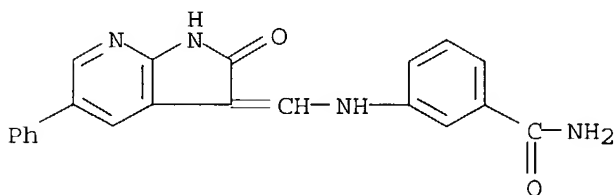
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 297756-21-9P 297756-22-0P 297756-23-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinomethylene oxindolones and analogs as protein tyrosine kinase and protein serine/threonine kinase inhibitors by alkylation and amination of oxindolones via standard or solution phase library methods)

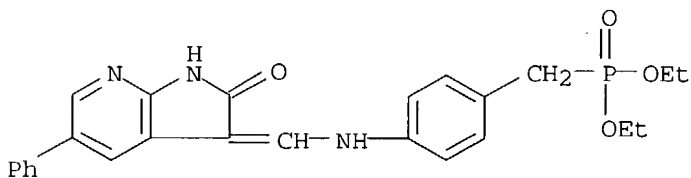
RN 297755-15-8 CAPLUS

CN Benzamide, 3-[[[(1,2-dihydro-2-oxo-5-phenyl-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



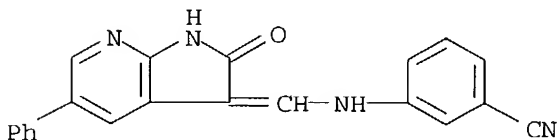
RN 297755-16-9 CAPLUS

CN Phosphonic acid, [[4-[[[(1,2-dihydro-2-oxo-5-phenyl-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



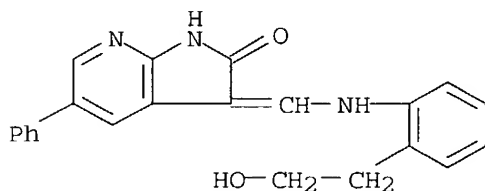
RN 297755-17-0 CAPLUS

CN Benzonitrile, 3-[[[(1,2-dihydro-2-oxo-5-phenyl-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



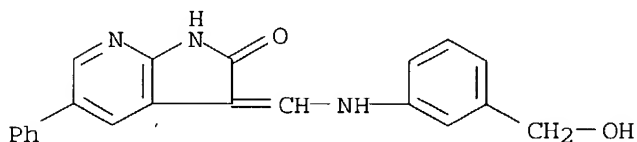
RN 297755-18-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



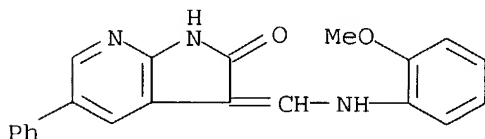
RN 297755-19-2 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[3-(hydroxymethyl)phenyl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



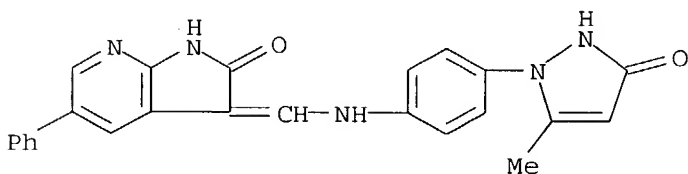
RN 297755-20-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[2-methoxyphenyl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



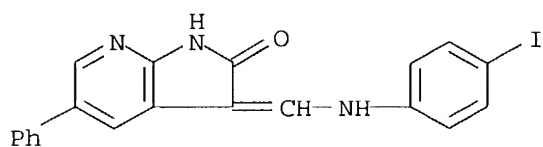
RN 297755-21-6 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro-5-phenyl- (9CI) (CA INDEX NAME)



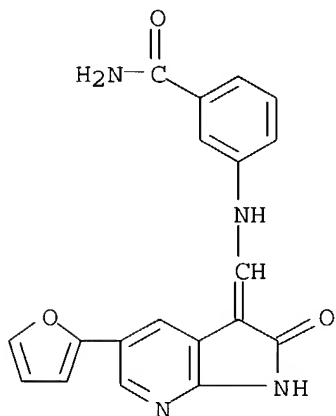
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CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[4-iodophenyl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



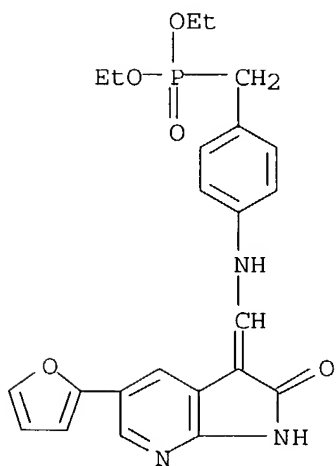
RN 297755-23-8 CAPLUS

CN Benzamide, 3-[[[5-(2-furanyl)-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino] - (9CI) (CA INDEX NAME)



RN 297755-24-9 CAPLUS

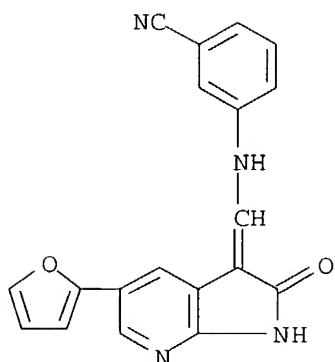
CN Phosphonic acid, [[4-[[[5-(2-furanyl)-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 297755-25-0 CAPLUS

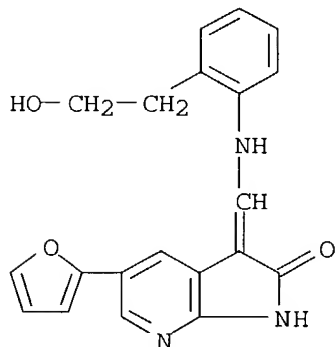
CN Benzonitrile, 3-[[[5-(2-furanyl)-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino] - (9CI) (CA INDEX NAME)





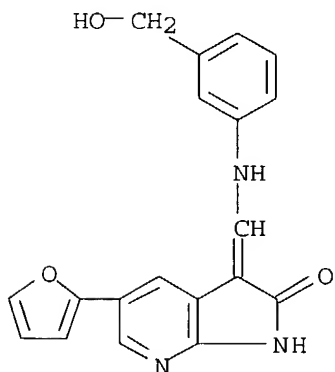
RN 297755-26-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



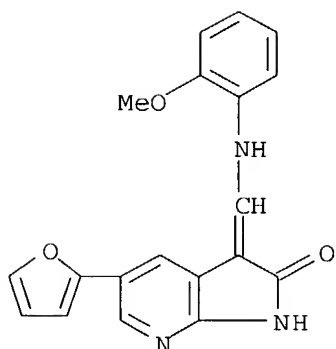
RN 297755-27-2 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[[3-(hydroxymethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



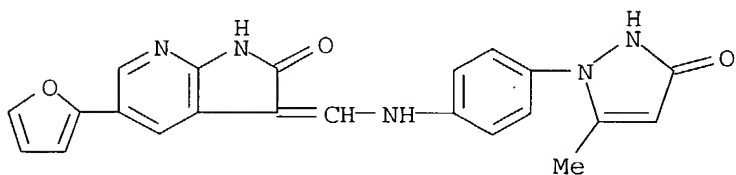
RN 297755-28-3 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[[2-methoxyphenyl]amino]methylene]- (9CI) (CA INDEX NAME)



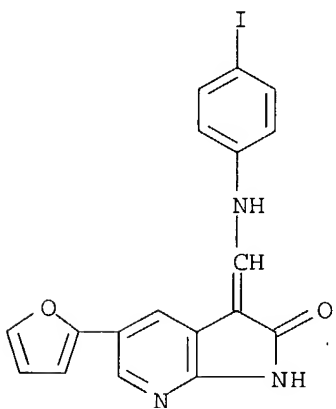
RN 297755-29-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-5-(2-furanyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



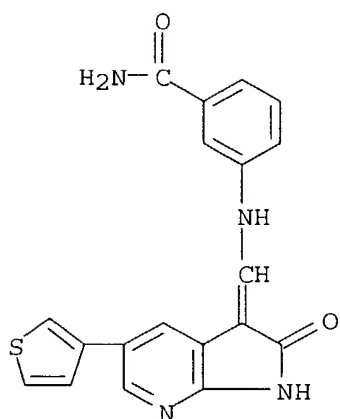
RN 297755-30-7 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



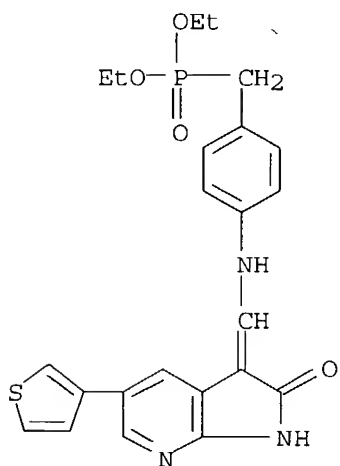
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CN Benzamide, 3-[[[1,2-dihydro-2-oxo-5-(3-thienyl)-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]- (9CI) (CA INDEX NAME)



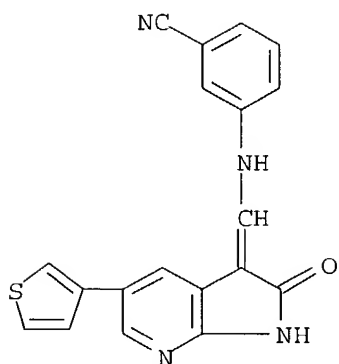
RN 297755-32-9 CAPLUS

CN Phosphonic acid, [[4-[[[1,2-dihydro-2-oxo-5-(3-thienyl)-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



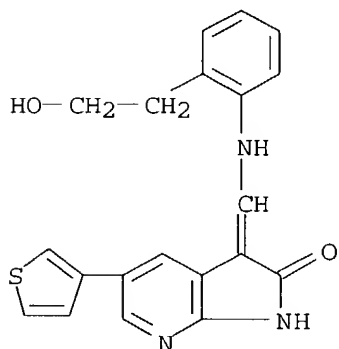
RN 297755-33-0 CAPLUS

CN Benzonitrile, 3-[[[1,2-dihydro-2-oxo-5-(3-thienyl)-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]- (9CI) (CA INDEX NAME)



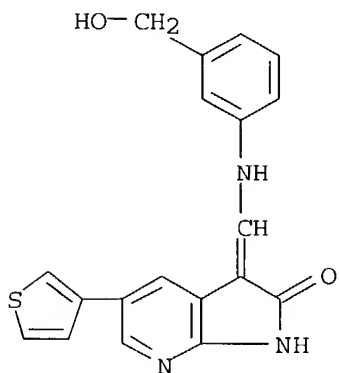
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CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene]-5-(3-thienyl)- (9CI) (CA INDEX NAME)



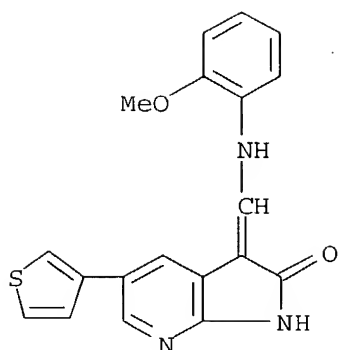
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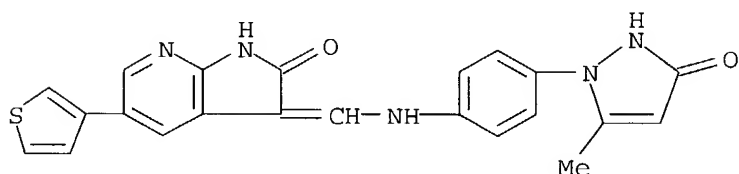
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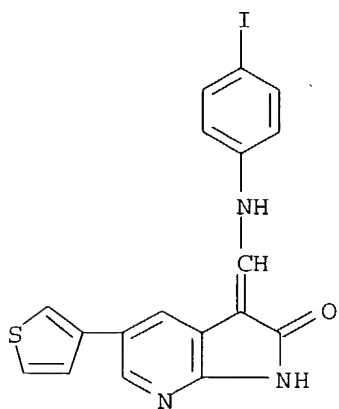
RN 297755-37-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro-5-(3-thienyl)- (9CI) (CA INDEX NAME)



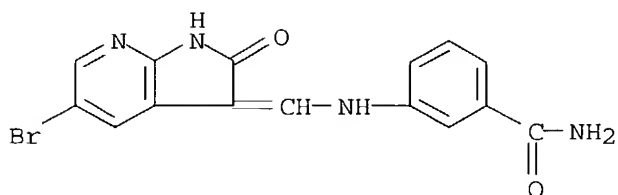
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CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[4-iodophenyl]amino]methylene]-5-(3-thienyl)- (9CI) (CA INDEX NAME)



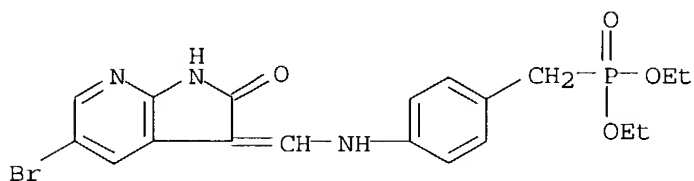
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CN Benzamide, 3-[[[5-bromo-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



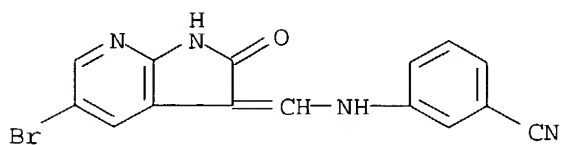
RN 297755-40-9 CAPLUS

CN Phosphonic acid, [[4-[[[(5-bromo-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



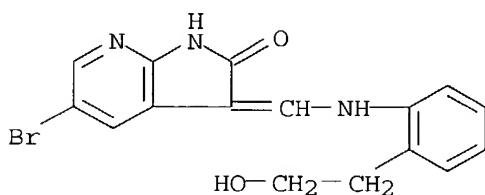
RN 297755-41-0 CAPLUS

CN Benzonitrile, 3-[[[(5-bromo-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino] - (9CI) (CA INDEX NAME)



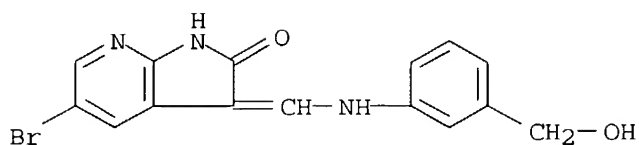
RN 297755-42-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene] - (9CI) (CA INDEX NAME)



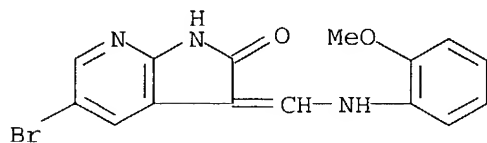
RN 297755-43-2 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[[[3-(hydroxymethyl)phenyl]amino]methylene] - (9CI) (CA INDEX NAME)



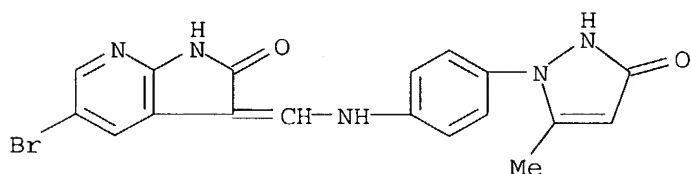
RN 297755-44-3 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[[2-methoxyphenyl]amino]methylene]- (9CI) (CA INDEX NAME)



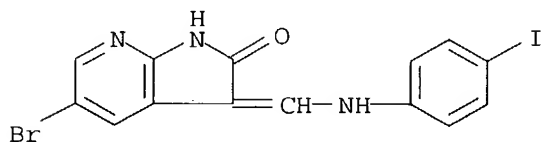
RN 297755-45-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



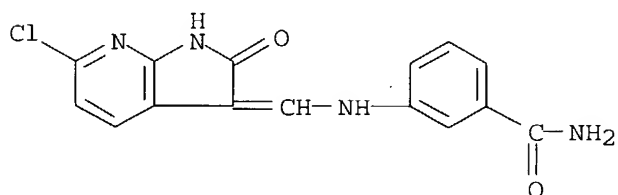
RN 297755-46-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-3-[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



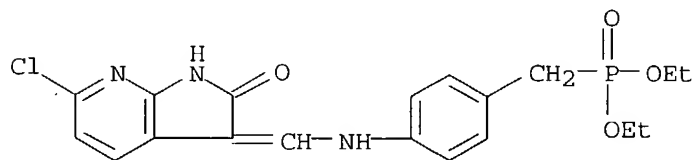
RN 297755-47-6 CAPLUS

CN Benzamide, 3-[[6-chloro-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



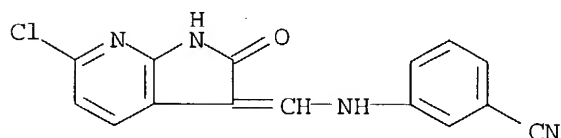
RN 297755-48-7 CAPLUS

CN Phosphonic acid, [[4-[[[(6-chloro-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



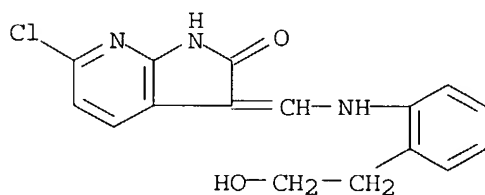
RN 297755-49-8 CAPLUS

CN Benzonitrile, 3-[[[(6-chloro-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



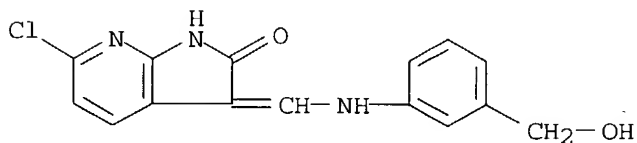
RN 297755-50-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



RN 297755-51-2 CAPLUS

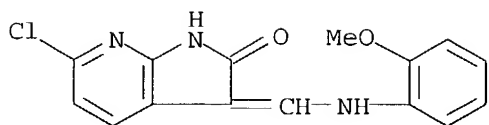
CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[[[3-(hydroxymethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



RN 297755-52-3 CAPLUS

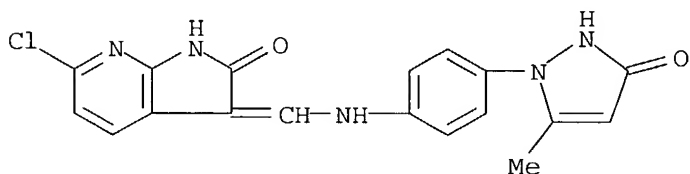
CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[[[2-methoxyphenyl]amino]methylene]- (9CI) (CA INDEX NAME)





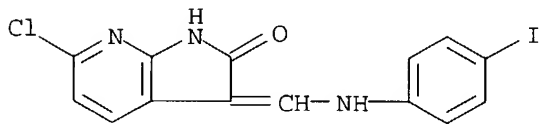
RN 297755-53-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



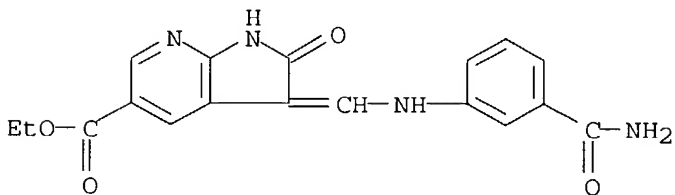
RN 297755-54-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



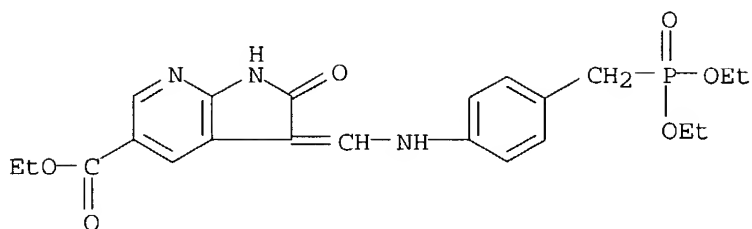
RN 297755-55-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[[[3-(aminocarbonyl)phenyl]amino]methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



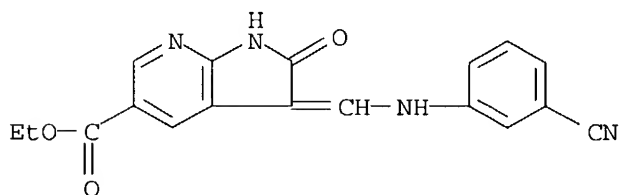
RN 297755-56-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[[[3-((diethoxyphosphinyl)methyl)phenyl]amino]methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



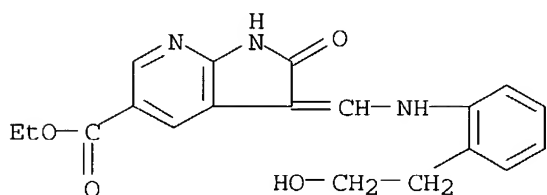
RN 297755-57-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[[[3-(cyanophenyl)amino]methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



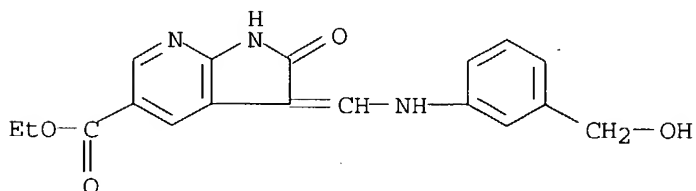
RN 297755-58-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



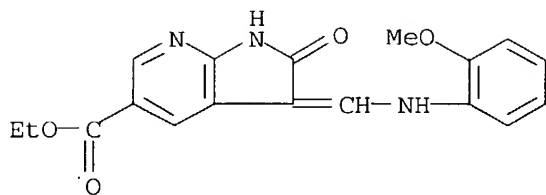
RN 297755-59-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[3-(hydroxymethyl)phenyl]amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



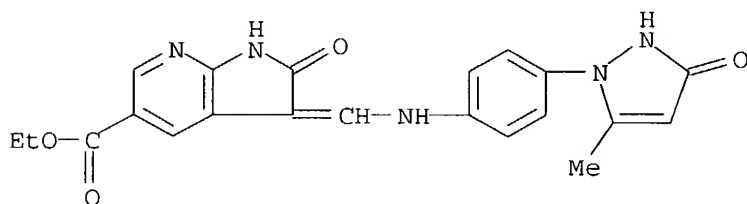
RN 297755-60-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[2-(methoxyphenyl)amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



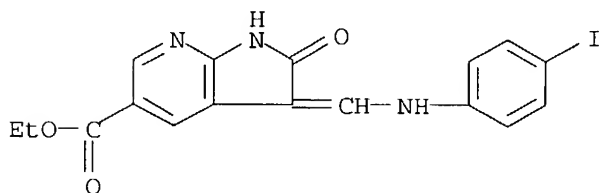
RN 297755-61-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



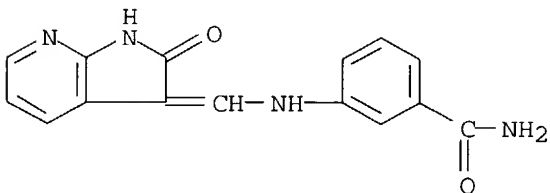
RN 297755-62-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



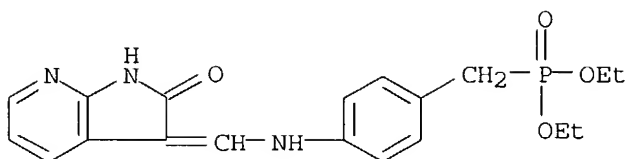
RN 297755-63-6 CAPLUS

CN Benzamide, 3-[[[1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



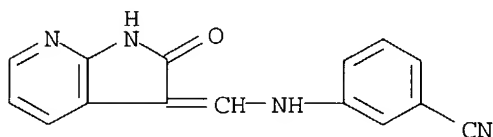
RN 297755-64-7 CAPLUS

CN Phosphonic acid, [[4-[[[1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



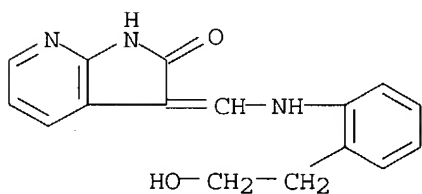
RN 297755-65-8 CAPLUS

CN Benzonitrile, 3-[[[2-(dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



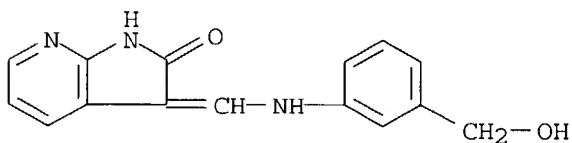
RN 297755-66-9 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[2-(2-hydroxyethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



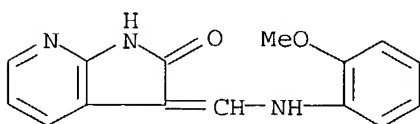
RN 297755-67-0 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[3-(hydroxymethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)



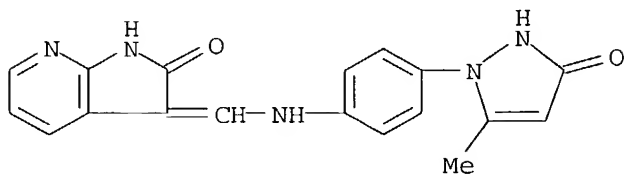
RN 297755-68-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[2-methoxyphenyl]amino]methylene]- (9CI) (CA INDEX NAME)



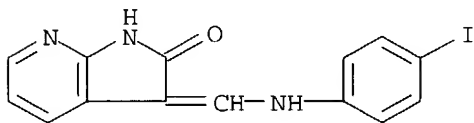
RN 297755-69-2 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



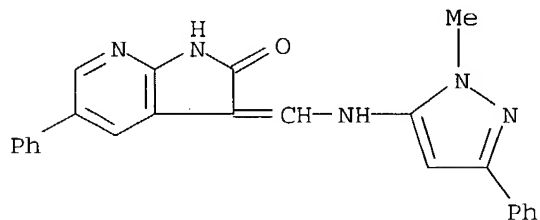
RN 297755-70-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[4-iodophenyl]amino]methylene]- (9CI) (CA INDEX NAME)



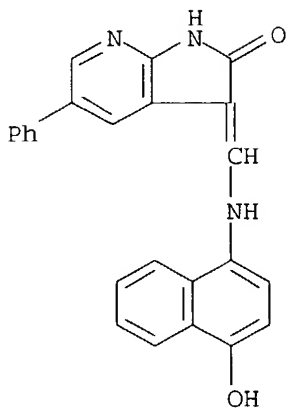
RN 297755-79-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[1-methyl-3-phenyl-1H-pyrazol-5-yl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



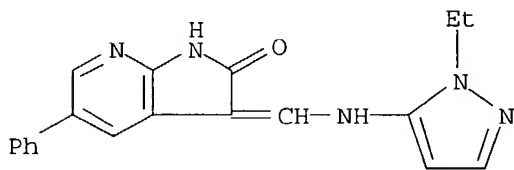
RN 297755-80-7 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[4-hydroxy-1-naphthalenyl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



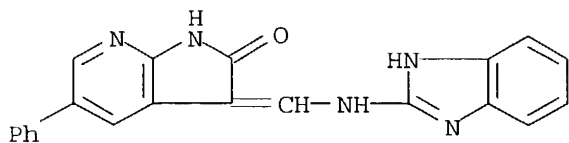
RN 297755-81-8 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[1-(1-ethyl-1H-pyrazol-5-yl)amino]methylene]-1,3-dihydro-5-phenyl- (9CI) (CA INDEX NAME)



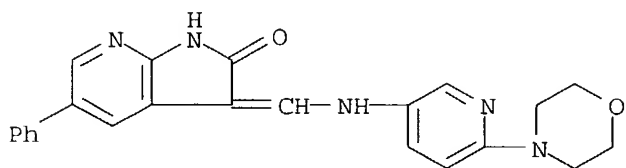
RN 297755-82-9 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[1H-benzimidazol-2-ylamino]methylene]-1,3-dihydro-5-phenyl- (9CI) (CA INDEX NAME)



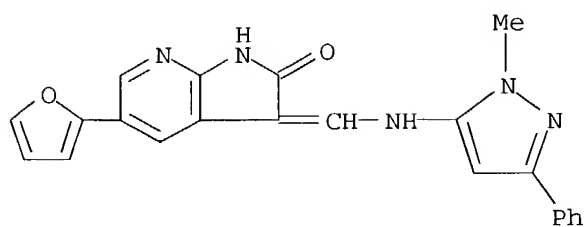
RN 297755-83-0 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]-5-phenyl- (9CI) (CA INDEX NAME)



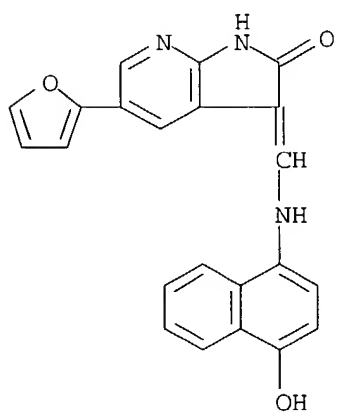
RN 297755-84-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[1-(1-methyl-3-phenyl-1H-pyrazol-5-yl)amino]methylene]- (9CI) (CA INDEX NAME)



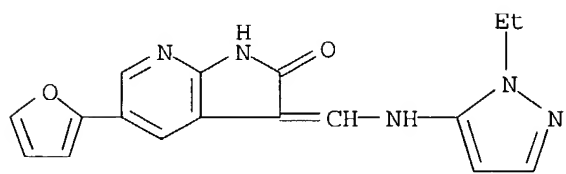
RN 297755-85-2 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[4-(4-hydroxy-1-naphthalenyl)amino]methylene]- (9CI) (CA INDEX NAME)



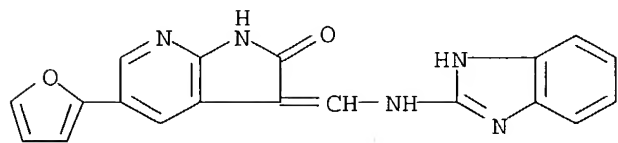
RN 297755-86-3 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[1-ethyl-1H-pyrazol-5-yl]amino]methylene]-5-(2-furanyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



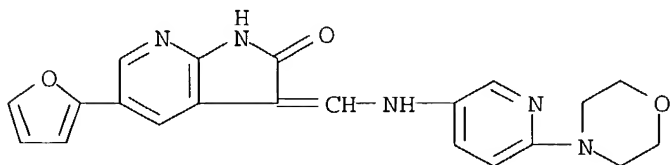
RN 297755-87-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[(1H-benzimidazol-2-ylamino)methylene]-5-(2-furanyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



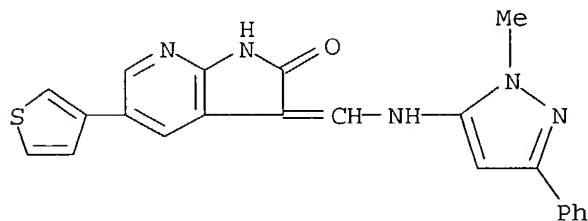
RN 297755-88-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-(2-furanyl)-1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene] - (9CI) (CA INDEX NAME)



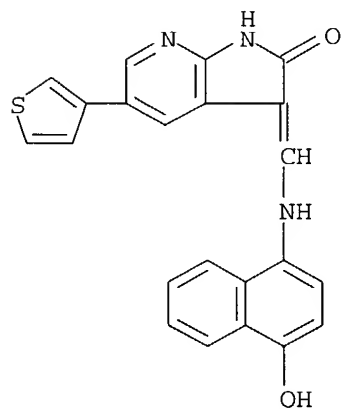
RN 297755-89-6 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[1-methyl-3-phenyl-1H-pyrazol-5-yl]amino]methylene] -5-(3-thienyl) - (9CI) (CA INDEX NAME)



RN 297755-90-9 CAPLUS

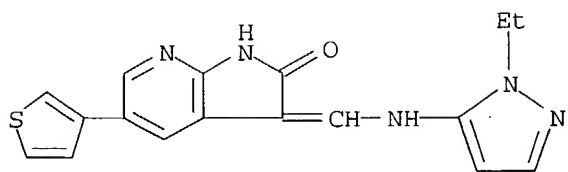
CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[4-hydroxy-1-naphthalenyl]amino]methylene] -5-(3-thienyl) - (9CI) (CA INDEX NAME)



RN 297755-91-0 CAPLUS

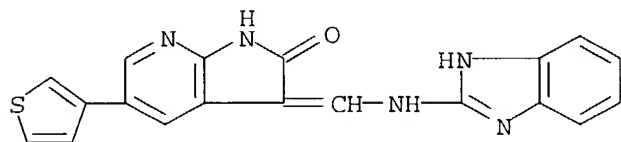
CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[1-ethyl-1H-pyrazol-5-yl]amino]methylene] -1,3-dihydro-5-(3-thienyl) - (9CI) (CA INDEX NAME)





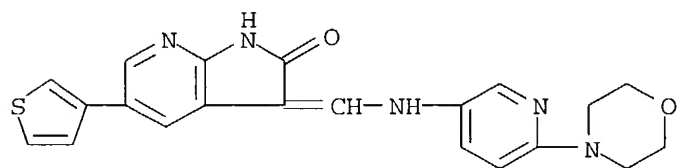
RN 297755-92-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[(1H-benzimidazol-2-ylamino)methylene]-1,3-dihydro-5-(3-thienyl)- (9CI) (CA INDEX NAME)



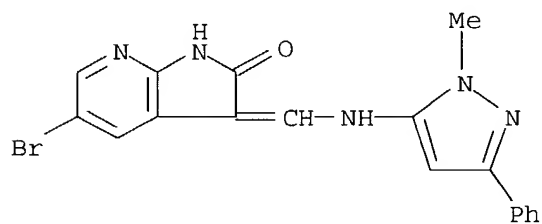
RN 297755-93-2 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]-5-(3-thienyl)- (9CI) (CA INDEX NAME)



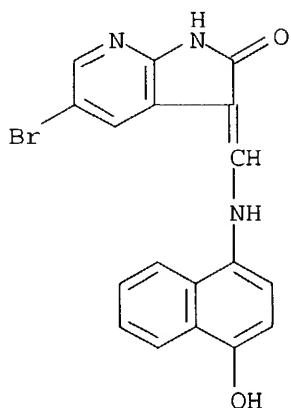
RN 297755-94-3 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[[[1-methyl-3-phenyl-1H-pyrazol-5-yl]amino]methylene]- (9CI) (CA INDEX NAME)



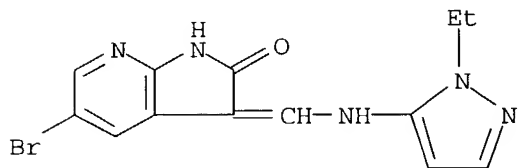
RN 297755-95-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[[[4-hydroxy-1-naphthalenyl]amino]methylene]- (9CI) (CA INDEX NAME)



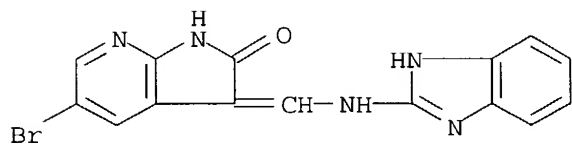
RN 297755-96-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-3-[[1-(2-hydroxy-1-naphthyl)amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



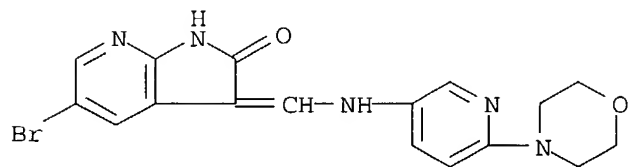
RN 297755-97-6 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[1-ethyl-1H-pyrazol-5-yl]amino]methylene]-5-bromo-1,3-dihydro- (9CI) (CA INDEX NAME)



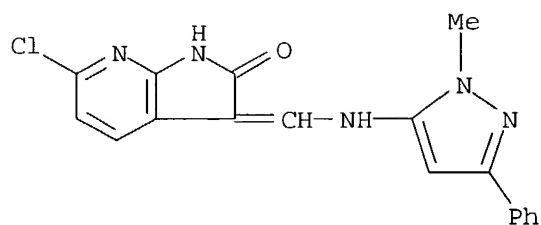
RN 297755-98-7 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]-5-bromo-1,3-dihydro- (9CI) (CA INDEX NAME)



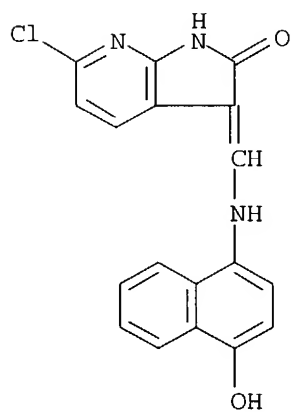
RN 297755-99-8 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[[1-(4-morpholinyl)-1H-pyrazol-5-yl]amino]methylene]-5-bromo-1,3-dihydro- (9CI) (CA INDEX NAME)



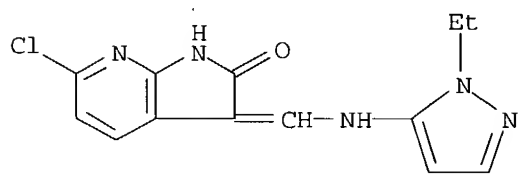
RN 297756-00-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[[4-(4-hydroxy-1-naphthalenyl)amino]methylene]- (9CI) (CA INDEX NAME)



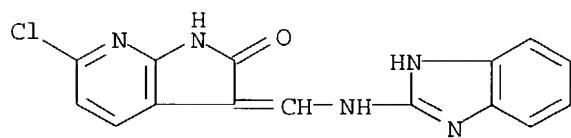
RN 297756-01-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-3-[[1-ethyl-1H-pyrazol-5-yl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



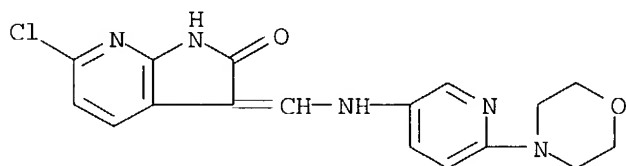
RN 297756-02-6 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[1H-benzimidazol-2-yl]amino]methylene]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)



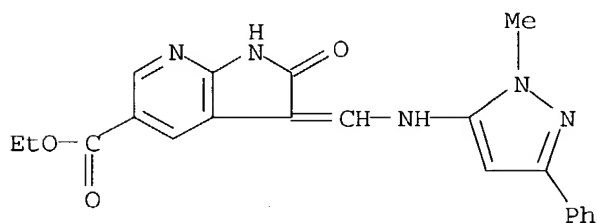
RN 297756-03-7 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]- (9CI) (CA INDEX NAME)



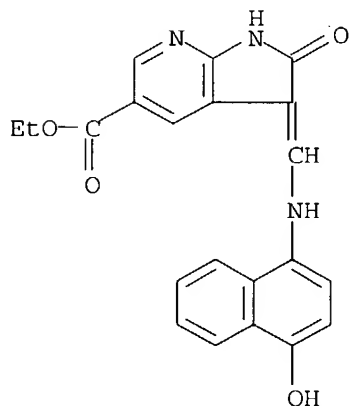
RN 297756-04-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[1-methyl-3-phenyl-1H-pyrazol-5-yl]amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



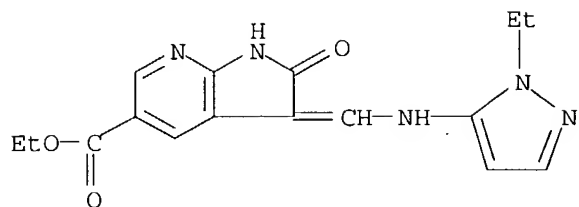
RN 297756-05-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[4-hydroxy-1-naphthalenyl]amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



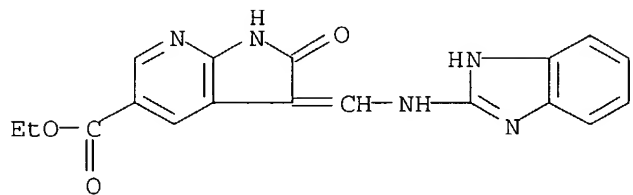
RN 297756-06-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[[[1-ethyl-1H-pyrazol-5-yl]amino]methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



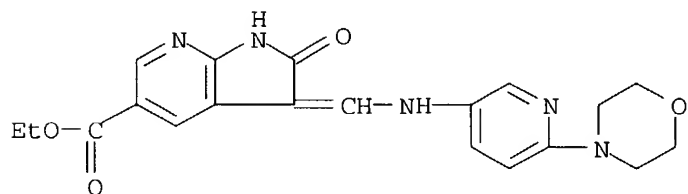
RN 297756-07-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[(1H-benzimidazol-2-ylamino)methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



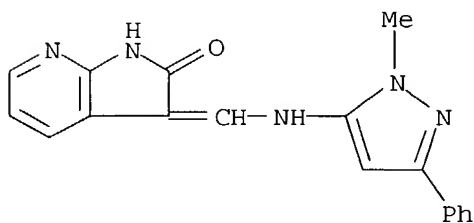
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CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



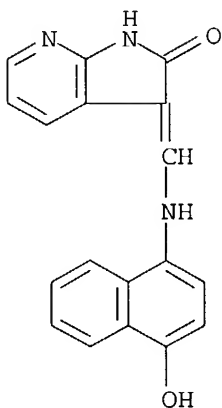
RN 297756-19-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridine-2-one, 1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]- (9CI) (CA INDEX NAME)



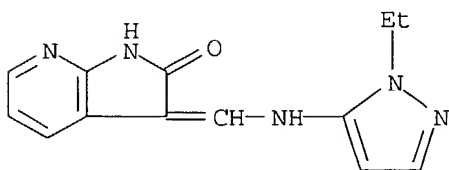
RN 297756-20-8 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridine-2-one, 1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]- (9CI) (CA INDEX NAME)



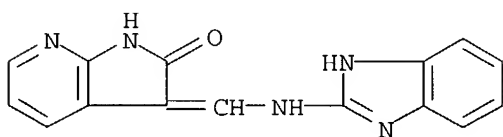
RN 297756-21-9 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 3-[[1-(2-hydroxy-1-naphthyl)amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)



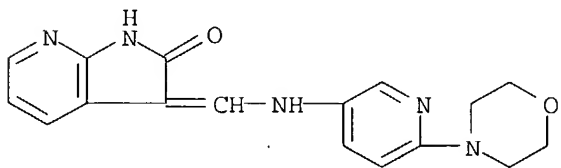
RN 297756-22-0 CAPLUS

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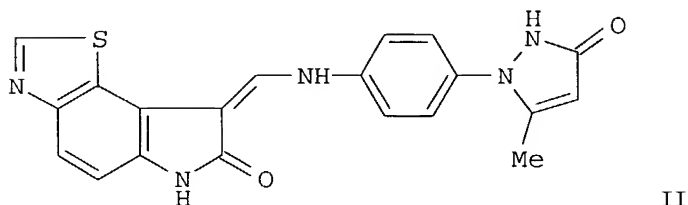
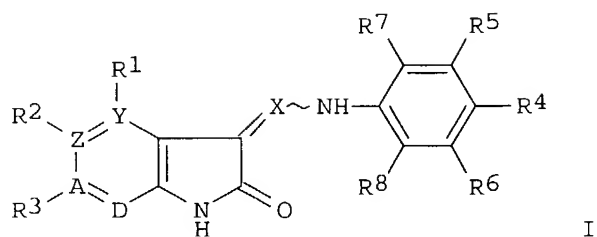


RN 297756-23-1 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[[[6-(4-morpholinyl)-3-pyridinyl]amino]methylene]- (9CI) (CA INDEX NAME)



GI



AB The title compds. (I) [wherein X = N, CH, CCF<sub>3</sub>, or C(aliphatic); Y, Z, A, and D = C or N, and the number of N ≤ 1; R<sub>1</sub> = H, aliphatic, SH, hydroxy(aliphatic), aryl(aliphatic), cycloalkyl(aliphatic), heterocyclyl(aliphatic), (un)substituted NH<sub>2</sub>, CONH<sub>2</sub>, or SO<sub>2</sub>NH<sub>2</sub>, alkoxycarbonyl, halo, CN, or NO<sub>2</sub>; R<sub>2</sub> = H, aliphatic, hydroxyimino aliphatic, alkoxy(carbonyl), hydroxyaliph., aryl(oxycarbonyl), heterocyclyl, (un)substituted CONH<sub>2</sub>, NH<sub>2</sub>, or SO<sub>2</sub>NH<sub>2</sub>, halo, OH, NO<sub>2</sub>, aliphatic sulfonyl, etc.; or R<sub>1</sub> and R<sub>2</sub> are joined to form an (un)substituted fused heterocyclic ring; R<sub>3</sub> = H, aliphatic, hydroxy(aliphatic), (un)substituted NH<sub>2</sub>, CONH<sub>2</sub>, or SO<sub>2</sub>NH<sub>2</sub>, alkoxy, aryl(oxy), hydroxyaryl, (hydroxy)heterocyclyl, heterocyclloxy, or halo; or R<sub>2</sub> and R<sub>3</sub> are joined to form an (un)substituted fused heterocyclic ring; R<sub>4</sub> = SO<sub>3</sub>H, (aliphatic)sulfonyl(aliphatic), (un)substituted SO<sub>2</sub>NH<sub>2</sub>, NH<sub>2</sub>, CONH<sub>2</sub>, etc.; R<sub>5</sub> = H; or R<sub>4</sub> and R<sub>5</sub> are joined to form an (un)substituted fused heterocyclic ring] were prepared via standard synthetic methods and solution phase library techniques as vascular endothelial growth factor receptor type 2 (VEGFR-2), cyclin dependent kinase 2 (CDK2), tyrosine kinase Tie-2 receptor, and colony-stimulating factor 1 receptor kinase (c-fms) inhibitors. For example, a mixture of 8-dimethylaminomethylene-6,8-dihydro-1-thia-3,6-diaza-as-indacene-7-one (preparation given) and 2-(4-aminophenyl)-3-methylpyrazolin-5-one in absolute EtOH was heated with stirring at 90°C for 16 h to give (Z)-II (83%). In substrate phosphorylation assays, II inhibited VEGFR-2 and CDK2 with IC<sub>50</sub> values of 1-10 μM and 11-50 μM, resp. I are useful as therapeutic agents in disease states alleviated by the inhibition or antagonism of protein kinase activated signalling pathways in general, and in particular in the pathol. processes which involve aberrant cellular proliferation, such as tumor growth, restenosis, atherosclerosis, and thrombosis. I are particularly useful for suppressing tumor growth by inhibiting tumor-related angiogenesis.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:666732 CAPLUS

DN 133:252418

TI Preparation of anilinomethylene aza-oxindoles and analogs as protein tyrosine kinase and protein serine/threonine kinase inhibitors

IN Harris, Philip Anthony; Kuyper, Lee Frederick; Lackey, Karen Elizabeth;  
 Veal, James Marvin  
 PA Glaxo Group Limited, UK  
 SO PCT Int. Appl., 105 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.  | KIND   | DATE     | APPLICATION NO. | DATE       |
|----|---|--|----------|-----------------|------------|
| PI | WO 2000055159   | A2   | 20000921 | WO 2000-US5583  | 20000303   |
|    | WO 2000055159   | A3   | 20011129 |                 |            |
|    | W:  | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
|    | RW:   | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
|    |   |  |          | GB 1999-4995    | A 19990304 |
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|    |   |  |          | GB 1999-4995    | A 19990304 |
|    |   |  |          | WO 2000-US5583  | W 20000303 |
| JP | 2003502280  | T2   | 20030121 | JP 2000-605588  | 20000303   |
|    |   |  |          | GB 1999-4995    | A 19990304 |
|    |   |  |          | WO 2000-US5583  | W 20000303 |
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|    |   |  |          | WO 2000-US5583  | W 20000303 |
| US | 6624171   | B1   | 20030923 | US 2001-914393  | 20010828   |
|    |   |  |          | GB 1999-4995    | A 19990304 |
|    |   |  |          | WO 2000-US5583  | W 20000303 |
| OS | MARPAT 133:252418   |  |          |                 |            |
| IT | <b>295327-24-1P</b> , (Z)-4-[[[(2-Oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide <b>295327-39-8P</b> ,<br>4-[[[(2-Oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide <b>295327-40-1P</b> ,<br>3-[(6-Quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one <b>295327-41-2P</b> , 4-[[[(2-Oxo-5-phenyl-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide <b>295327-42-3P</b><br><b>295327-43-4P</b> , 5-Phenyl-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one <b>295327-44-5P</b> ,<br>4-[[[5-(2-Furyl)-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide <b>295327-45-6P</b><br><b>295327-46-7P</b> , 5-(2-Furyl)-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one <b>295327-47-8P</b> ,<br>4-[[[2-Oxo-5-(3-thienyl)-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide <b>295327-48-9P</b> ,<br>3-[(1H-Indazol-6-ylamino)methylidene]-5-(3-thienyl)-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one <b>295327-49-0P</b> , 3-[(6-Quinolinylamino)methylidene]-5-(3-thienyl)-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one <b>295327-50-3P</b> , 4-[[[(5-Bromo-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide |  |          |                 |            |



**295327-51-4P**, 5-Bromo-3-[(1H-indazol-6-ylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one **295327-52-5P**, 5-Bromo-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one **295327-53-6P**, 4-[[[(6-Chloro-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]benzenesulfonamide **295327-54-7P**, 6-Chloro-3-[(1H-indazol-6-ylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one **295327-55-8P**, 6-Chloro-3-[(6-quinolinylamino)methylidene]-1,3-dihydro-2H-pyrrolo[2,3-b]pyridin-2-one **295327-56-9P**, Ethyl 3-[[4-(aminosulfonyl)anilino)methylidene]-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridine-5-carboxylate **295327-57-0P**, Ethyl 3-[(1H-indazol-6-ylamino)methylidene]-2-oxo-1,2-dihydro-3H-pyrrolo[2,3-b]pyridine-5-carboxylate **295327-58-1P**, Ethyl 2-oxo-3-[(6-quinolinylamino)methylidene]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine-5-carboxylate **295327-59-2P**

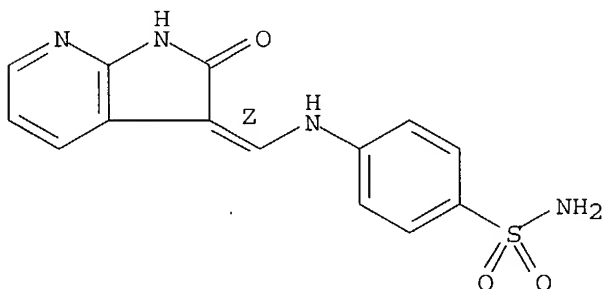
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylaminomethylene aza-oxindolones as protein tyrosine kinase and protein serine/threonine kinase inhibitors by alkylation and amination of aza-oxindolones via standard or solution phase library methods)

RN 295327-24-1 CAPLUS

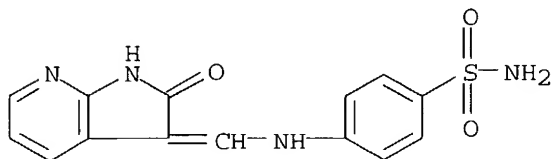
CN Benzenesulfonamide, 4-[[[(Z)-(1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



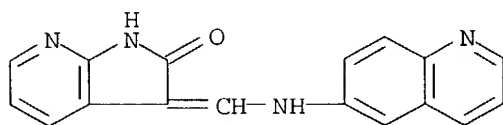
RN 295327-39-8 CAPLUS

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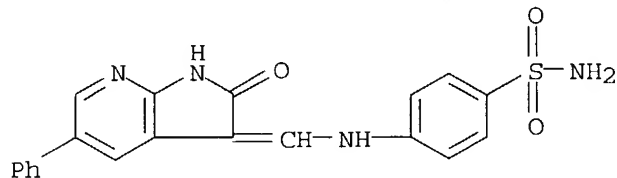
RN 295327-40-1 CAPLUS

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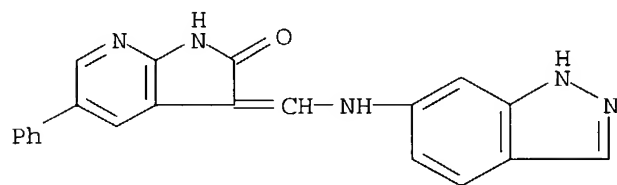
RN 295327-41-2 CAPLUS

CN Benzenesulfonamide, 4-[[[5-(2-furanyl)-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]- (9CI) (CA INDEX NAME)



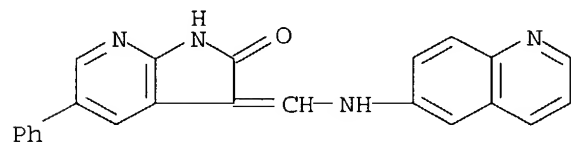
RN 295327-42-3 CAPLUS

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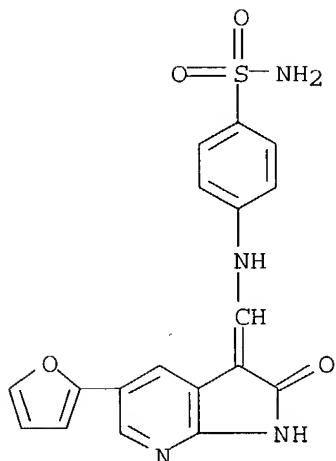
RN 295327-43-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-5-phenyl-3-[(6-quinolinylamino)methylene]- (9CI) (CA INDEX NAME)



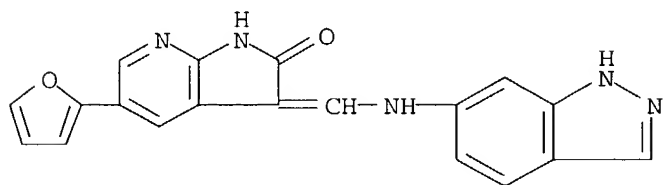
RN 295327-44-5 CAPLUS

CN Benzenesulfonamide, 4-[[[5-(2-furanyl)-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]- (9CI) (CA INDEX NAME)



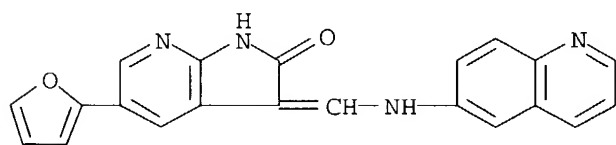
RN 295327-45-6 CAPLUS

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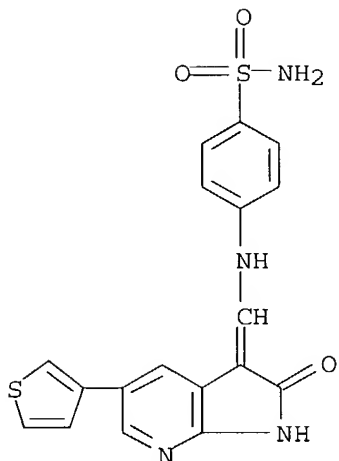
RN 295327-46-7 CAPLUS

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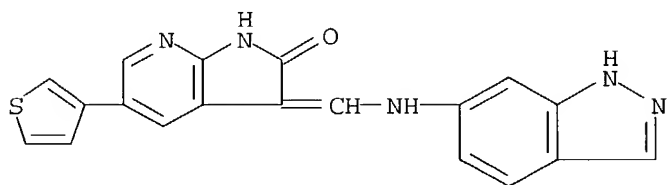
RN 295327-47-8 CAPLUS

CN Benzenesulfonamide, 4-[[[1,2-dihydro-2-oxo-5-(3-thienyl)-3H-pyrrolo[2,3-b]pyridin-3-ylidene]methyl]amino]- (9CI) (CA INDEX NAME)



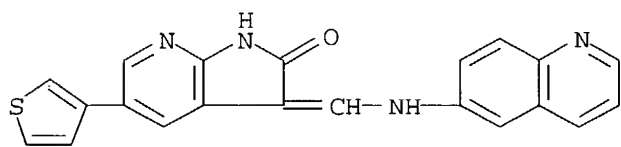
RN 295327-48-9 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[(1H-indazol-6-ylamino)methylene]-5-(3-thienyl)- (9CI) (CA INDEX NAME)



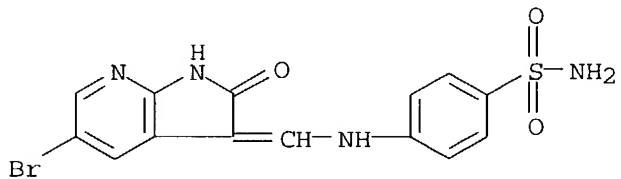
RN 295327-49-0 CAPLUS

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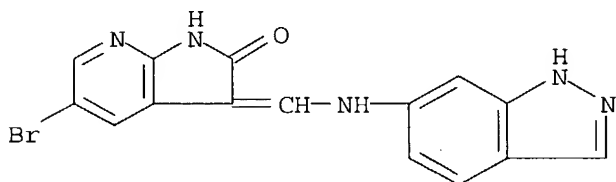
RN 295327-50-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



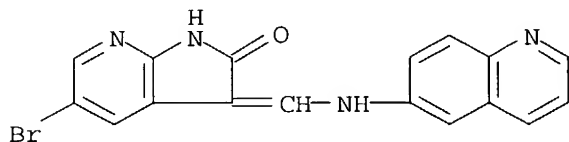
RN 295327-51-4 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[(1H-indazol-6-ylamino)methylene]- (9CI) (CA INDEX NAME)



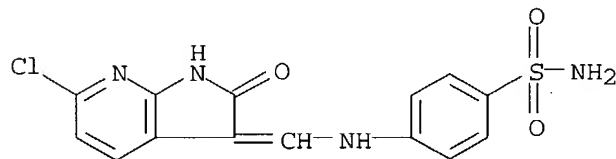
RN 295327-52-5 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 5-bromo-1,3-dihydro-3-[(6-quinolinylamino)methylene]- (9CI) (CA INDEX NAME)



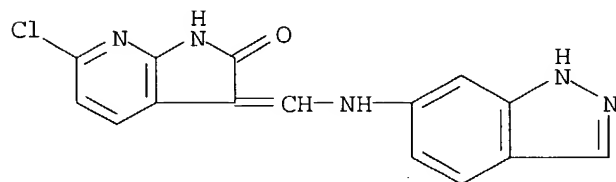
RN 295327-53-6 CAPLUS

CN Benzenesulfonamide, 4-[[[(6-chloro-1,2-dihydro-2-oxo-3H-pyrrolo[2,3-b]pyridin-3-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)



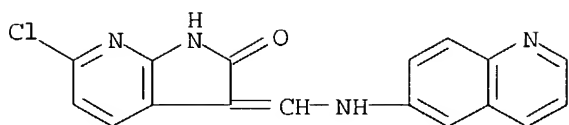
RN 295327-54-7 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[(1H-indazol-6-ylamino)methylene]- (9CI) (CA INDEX NAME)



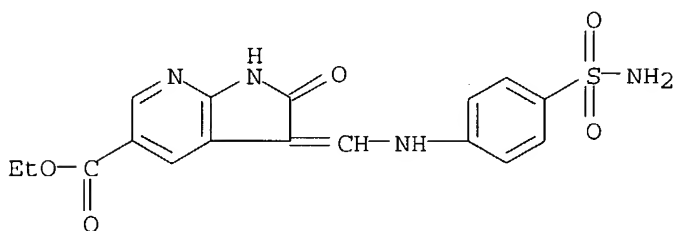
RN 295327-55-8 CAPLUS

CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 6-chloro-1,3-dihydro-3-[(6-quinolinylamino)methylene]- (9CI) (CA INDEX NAME)



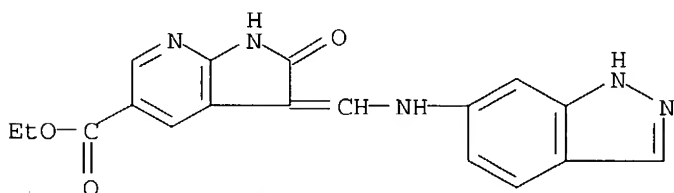
RN 295327-56-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[[[4-(aminosulfonyl)phenyl]amino]methylene]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



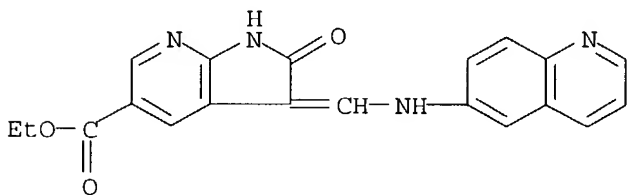
RN 295327-57-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-3-[(1H-indazol-6-ylamino)methylene]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



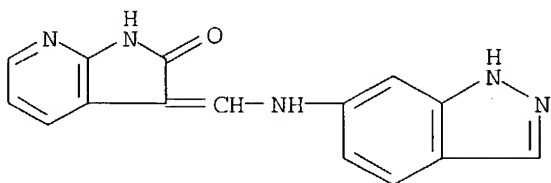
RN 295327-58-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 2,3-dihydro-2-oxo-3-[(6-quinolinylamino)methylene]-, ethyl ester (9CI) (CA INDEX NAME)

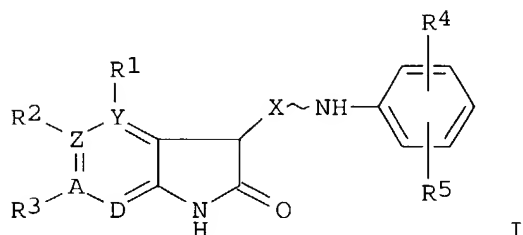


RN 295327-59-2 CAPLUS

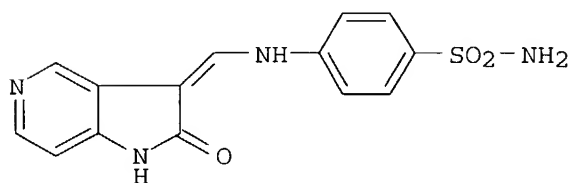
CN 2H-Pyrrolo[2,3-b]pyridin-2-one, 1,3-dihydro-3-[(1H-indazol-6-ylamino)methylene]- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. (I) [wherein X = N, CH, CCF<sub>3</sub>, or C(aliphatic); Y, Z, A, and D = C or N, and the number of N ≤ 1; R<sub>1</sub> = H, aliphatic, SH, hydroxy(aliphatic), aryl(aliphatic), cycloalkyl(aliphatic), heterocyclyl(aliphatic), (un)substituted NH<sub>2</sub>, CONH<sub>2</sub>, or SO<sub>2</sub>NH<sub>2</sub>, alkoxy, carbonyl, halo, CN, or NO<sub>2</sub>; R<sub>2</sub> = H, aliphatic, hydroxyimino aliphatic, alkoxy(carbonyl), hydroxyaliph., aryl(oxycarbonyl), heterocyclyl, (un)substituted CONH<sub>2</sub>, NH<sub>2</sub>, or SO<sub>2</sub>NH<sub>2</sub>, halo, OH, NO<sub>2</sub>, aliphatic sulfonyl, etc.; or R<sub>1</sub> and R<sub>2</sub> are joined to form an (un)substituted fused heterocyclic ring; R<sub>3</sub> = H, aliphatic, hydroxy(aliphatic), (un)substituted NH<sub>2</sub>, CONH<sub>2</sub>, or SO<sub>2</sub>NH<sub>2</sub>, alkoxy, aryl(oxy), hydroxyaryl, (hydroxy)heterocyclyl, heterocyclloxy, or halo; or R<sub>2</sub> and R<sub>3</sub> are joined to form an (un)substituted fused heterocyclic ring; R<sub>4</sub> = SO<sub>3</sub>H, (aliphatic)sulfonyl(aliphatic), (un)substituted SO<sub>2</sub>NH<sub>2</sub>, NH<sub>2</sub>, CONH<sub>2</sub>, etc.; R<sub>5</sub> = H; or R<sub>4</sub> and R<sub>5</sub> are joined to form an (un)substituted fused heterocyclic ring] were prepared via standard synthetic methods and solution phase library techniques as cyclin dependent kinase 2 (CDK2), colony-stimulating factor 1 receptor kinase (c-fms), and vascular endothelial growth factor receptor type 2 (VEGFR-2) inhibitors. For example, 1,5-diazainden-2-one•HBr was reacted with N,N-dimethylformamide-di-t-Bu acetal in DMF to give the 3-dimethylaminomethylene derivative, which was treated with sulfanilamide in EtOH with HCl to form (Z)-II. In substrate phosphorylation assays, II inhibited CDK2 and VEGFR-2 with IC<sub>50</sub> values of 0.01-0.1 μM and 1.0-10 μM, resp. I are useful as therapeutic agents in disease states

alleviated by the inhibition or antagonism of protein kinase activated signalling pathways in general, and in particular in the pathol. processes which involve aberrant cellular proliferation, such as tumor growth, restenosis, atherosclerosis, and thrombosis. I are particularly useful for the prevention of chemotherapy-induced alopecia.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

10.39

166.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-1.39

-1.39

STN INTERNATIONAL LOGOFF AT 11:01:06 ON 27 FEB 2004